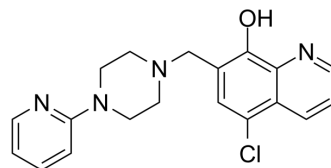


## MLS1547

<b>Cat. No.:</b>	HY-128121		
<b>CAS No.:</b>	315698-36-3		
<b>Molecular Formula:</b>	C <sub>19</sub> H <sub>19</sub> ClN <sub>4</sub> O		
<b>Molecular Weight:</b>	354.83		
<b>Target:</b>	Dopamine Receptor		
<b>Pathway:</b>	GPCR/G Protein; Neuronal Signaling		
<b>Storage:</b>	Powder	-20°C	3 years
		4°C	2 years
	In solvent	-80°C	6 months
		-20°C	1 month



### SOLVENT & SOLUBILITY

#### In Vitro

DMSO : 33.33 mg/mL (93.93 mM); ultrasonic and warming and heat to 60°C)

Preparing Stock Solutions	Solvent Concentration	Mass		
		1 mg	5 mg	10 mg
	1 mM	2.8183 mL	14.0913 mL	28.1825 mL
	5 mM	0.5637 mL	2.8183 mL	5.6365 mL
	10 mM	0.2818 mL	1.4091 mL	2.8183 mL

Please refer to the solubility information to select the appropriate solvent.

#### In Vivo

- Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline  
Solubility: ≥ 1.25 mg/mL (3.52 mM); Clear solution
- Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)  
Solubility: ≥ 1.25 mg/mL (3.52 mM); Clear solution
- Add each solvent one by one: 10% DMSO >> 90% corn oil  
Solubility: ≥ 1.25 mg/mL (3.52 mM); Clear solution

### BIOLOGICAL ACTIVITY

#### Description

MLS1547 is a highly efficacious G protein-biased dopamine D2 receptor (D2R) agonist ( $K_i=1.2 \mu\text{M}$ ). MLS1547 stimulates D2R G protein-mediated signaling ( $\text{EC}_{50}=0.37 \mu\text{M}$  in a calcium mobilization assay). MLS1547 acts as an antagonist for dopamine (DA)-stimulated β-arrestin recruitment to the D2R ( $\text{IC}_{50}=9.9 \mu\text{M}$ )<sup>[1][2]</sup>.

#### In Vitro

MLS1547 fully antagonizes dopamine-mediated β-arrestin recruitment to the D2R in the DiscoverX assay, with an  $\text{IC}_{50}$  of 9.9 μM. Similar results were obtained when MLS1547 was examined for antagonist activity in the D2R β-arrestin BRET assay, demonstrating an  $\text{IC}_{50}$  of 3.8 μM. MLS1547 is found to completely displace [<sup>3</sup>H]methylspiperone binding to the D2R, with a

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calculated  $K_i$  of 1.2  $\mu\text{M}$ <sup>[1]</sup>.

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

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## REFERENCES

[1]. Free RB, et al. Discovery and characterization of a G protein-biased agonist that inhibits  $\beta$ -arrestin recruitment to the D2 dopamine receptor. *Mol Pharmacol.* 2014;86(1):96-105.

[2]. Chun LS, et al. Structure-Activity Investigation of a G Protein-Biased Agonist Reveals Molecular Determinants for Biased Signaling of the D2 Dopamine Receptor. *Front Synaptic Neurosci.* 2018;10:2. Published 2018 Feb 21.

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**Caution: Product has not been fully validated for medical applications. For research use only.**

Tel: 609-228-6898

Fax: 609-228-5909

E-mail: [tech@MedChemExpress.com](mailto:tech@MedChemExpress.com)

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA